## (19) World Intellectual Property Organization

International Bureau



## 18 FEB 2005

(43) International Publication Date 4 March 2004 (04.03.2004)

PCT

(10) International Publication Number WO 2004/018411 A1

(51) International Patent Classification7:

C07C 257/14

(21) International Application Number:

PCT/US2003/023260

(22) International Filing Date: 12 August 2003 (12.08.2003)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data: 60/405,443

22 August 2002 (22.08.2002)

- (71) Applicant (for all designated States except US): ELI LILLY AND COMPANY [US/US]; Lilly Corporate Center, Indianapolis, IN 46285 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): BUSH, Julie, Kay [US/US]; 10671 Red Berry Court, Fishers, IN 46038 (US). HEATH, Perry, Clark [US/US]; 443 Mellowood Drive, Indianapolis, IN 46217 (US).
- (74) Agents: TUCKER, Tina, M. et al.; ELI LILLY AND COMPANY, P. O. Box 6288, Indianapolis, IN 46206-6288 (US).
- (81) Designated States (national): AE, AG, AL, AM, AT (utility model), AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ (utility model), CZ, DE (utility model), DE, DK (utility model), DK, DM, DZ, EC, EE (utility model), EE, ES, FI (utility model), FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK (utility model), SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (regional): ARIPO patent (GH. GM. KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),

European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

## **Declarations under Rule 4.17:**

- as to applicant's entitlement to apply for and be granted a patent (Rule 4.17(ii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE. KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD. MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT. RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- as to the applicant's entitlement to claim the priority of the earlier application (Rule 4.17(iii)) for the following designations AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY. BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC. EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, ARIPO patent (GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG)
- of inventorship (Rule 4.17(iv)) for US only

## Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: MUSCARINIC AGONISTS

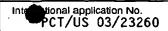
(57) Abstract: The present invention provides crystalline biphenyl-4-carboxylic acid (R)-(6-(1-((4-fluorobenzyl)methylamino)ethylideneamino)-2(R)-hydroxyindan-1-yl)amide hemihydrate, compositions thereof, methods of using the same, processes for making the same, and processes for making intermediates thereof.



International Application No

|  |   |  | 101/83 03/23200                    |  |  |  |  |  |  |  |
|--|---|--|------------------------------------|--|--|--|--|--|--|--|
| A. CLASSII<br>IPC 7  | FICATION OF SUBJECT MATTER CO7C257/14   |  |                                    |  |  |  |  |  |  |  |
| According to   | International Patent Classification (IPC) or to both national classification  | ation and IPC  | ·                                  |  |  |  |  |  |  |  |
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|  | tion searched other than minimum documentation to the extent that s   |  |                                    |  |  |  |  |  |  |  |
|  | ata base consulted during the International search (name of data bas<br>ternal, BEILSTEIN Data, CHEM ABS Dat  | •  | Search terms useru)                |  |  |  |  |  |  |  |
| C. DOCUMENTS CONSIDERED TO BE RELEVANT   |   |  |                                    |  |  |  |  |  |  |  |
| Category °   | Citation of document, with indication, where appropriate, of the rela   | Relevant to claim No.  |                                    |  |  |  |  |  |  |  |
| P,X  | WO 03/027061 A (LIU BIN; LILLY O<br>(US); ALLEN JENNIFER REBECCA (US)<br>HITCHCOCK ST) 3 April 2003 (2003-<br>cited in the application<br>claims 12,14-20<br>page 47, line 3 - page 48, line 2  | );<br>-04-03)  | 1-8, 10-21                         |  |  |  |  |  |  |  |
| <u> </u>   | ner documents are listed in the continuation of box C.  | X Patent family  | nembers are listed in annex.       |  |  |  |  |  |  |  |
| 'A' docume consid 'E' earlier of filing d 'L' docume which i citation 'O' docume other n 'P' docume later th | ent defining the general state of the art which is not lead to be of particular relevance document but published on or after the international late at the international late at the international late at the international late of another is cited to establish the publication date of another or other special reason (as specified) and referring to an oral disclosure, use, exhibition or means at the published prior to the international filing date but | **T later document published after the international filing date or priority date and not in conflict with the application but died to understand the principle or theory underlying the invention  **X** document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to the publication date of another treason (as specified)  **A oral disclosure, use, exhibition or oral disclosure, u |                                    |  |  |  |  |  |  |  |
|  | December 2003   | 17/12/2  | he international search report 003 |  |  |  |  |  |  |  |
| Name and m   | nailing address of the ISA  European Patent Office, P.B. 5818 Patentlaan 2  NL - 2280 HV Rijswijk  Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  Fax: (+31-70) 340-3016   | Authorized officer  Krische  | , D                                |  |  |  |  |  |  |  |





| Box I  | Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)  |  |  |  |  |  |
|--|--|--|--|--|--|--|
| This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons: |  |  |  |  |  |  |
| 1. X   | Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  |  |  |  |  |  |
|  | Although claims 10-21 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.  |  |  |  |  |  |
| 2.   | Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful international Search can be carried out, specifically: |  |  |  |  |  |
|  |  |  |  |  |  |  |
| 3.   | Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).   |  |  |  |  |  |
| Box II   | Observations where unity of invention is lacking (Continuation of item 2 of first sheet)   |  |  |  |  |  |
| This inte  | ernational Searching Authority found multiple inventions in this international application, as follows:  |  |  |  |  |  |
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| 1.   | As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.   |  |  |  |  |  |
| 2.   | As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.   |  |  |  |  |  |
| з  | As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:                       |  |  |  |  |  |
|  |  |  |  |  |  |  |
| 4. 🔲   | No required additional search fees were timely paid by the applicant. Consequently, this international Search Report is restricted to the Invention first mentioned in the claims; it is covered by claims Nos.:           |  |  |  |  |  |
|  |  |  |  |  |  |  |
| Remark   | on Protest The additional search fees were accompanied by the applicant's protest.   |  |  |  |  |  |
|  | No protest accompanied the payment of additional search fees.  |  |  |  |  |  |



International Application No

|  |   |                  |    | '                       | C1/03 | 03/ 23200        |
|--|---|------------------|----|-------------------------|-------|------------------|
| Patent document cited in search report |   | Publication date |    | Patent family member(s) |       | Publication date |
| WO 03027061                            | A | 03-04-2003       | WO | 03027061                | A2    | 03-04-2003       |
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